

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
12 May 2005 (12.05.2005)

PCT

(10) International Publication Number
WO 2005/042488 A1

(51) International Patent Classification⁷: **C07D 213/80**,
213/82, 213/56, 213/55, 401/12, 213/59, 401/06, 413/06,
C07F 9/40, C07D 213/71, 213/75, 409/12, 405/12, 213/12,
413/12

(74) Agent: **TAKASHIMA, Hajime**; Fujimura Yamato Seimei
Bldg., 2-14, Fushimimachi 4-chome, Chuo-ku, Osaka-shi,
Osaka 5410044 (JP).

(21) International Application Number:
PCT/JP2004/016457

(22) International Filing Date: 29 October 2004 (29.10.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2003-373776 31 October 2003 (31.10.2003) JP
2004-030491 6 February 2004 (06.02.2004) JP
2004-165977 3 June 2004 (03.06.2004) JP

(71) Applicant (for all designated States except US): **TAKEDA
PHARMACEUTICAL COMPANY LIMITED [JP/JP]**;
1-1, Doshomachi 4-chome, Chuo-ku, Osaka-shi, Osaka
5410045 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **OI, Satoru [JP/JP]**;
7-10-509, Ayameikeminami 1-chome, Nara-shi, Nara
6310033 (JP). **MAEZAKI, Hironobu [JP/JP]**; 6-7-206,
Honmachi 5-chome, Toyonaka-shi, Osaka 5600021 (JP).
SUZUKI, Nobuhiko [JP/JP]; 16-61, Mino 4-chome,
Mino-shi, Osaka 5620001 (JP).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

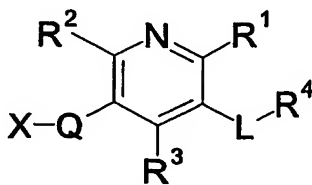
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: PYRIDINE COMPOUNDS AS INHIBITORS OF DIPEPTIDYL PEPTIDASE IV



(I)

(57) Abstract: A compound represented by the formula wherein R¹ and R² are the same or different and each is an optionally substituted hydrocarbon group or an optionally substituted hydroxy group; R³ is an optionally substituted aromatic group; R⁴ is an optionally substituted amino group; L is a divalent chain hydrocarbon group; Q is a bond or a divalent chain hydrocarbon group; and X is a hydrogen atom, a cyano group, a nitro group, an acyl group, a substituted hydroxy group, an optionally substituted thiol group, an optionally substituted amino group or an optionally substituted

cyclic group; provided that when X is an ethoxycarbonyl group, then Q is a divalent chain hydrocarbon group. The compound has a peptidase inhibitory action, is useful as an agent for the prophylaxis or treatment of diabetes and the like, and is superior in efficacy, duration of action, specificity, lower toxicity and the like.